

Claims

1. A pharmaceutical formulation comprising a free-flowing plurality of particles comprising a pharmaceutically active agent and an excipient, wherein the
5 formulation includes one or more tastemasking agents incorporated into the formulation so that the taste intensity of the flavouring agents substantially always exceeds the taste intensity of the active agent, without significantly affecting the dissolution profile of the formulation.
- 10 2. A pharmaceutical formulation as claimed in claim 1, wherein said particles each include both active agent and excipient.
3. A pharmaceutical formulation as claimed in claim 2, wherein the particles comprise a core and a coating that includes a quantity of the excipient.
- 15 4. A pharmaceutical formulation as claimed in claim 3, wherein the coating is a continuous coating, surrounding the core.
5. A pharmaceutical formulation as claimed in any of the proceeding claims,
20 wherein the particles are formed by melt-coating core particles with a coating material that includes a quantity of the excipient, at a temperature below the melting point or decomposition temperature of the active agent.
6. A pharmaceutical formulation as claimed in claim 5, wherein the core
25 particles are 10 to 1000µm in size, preferably 200 to 600µm or 100 to 300µm.
7. A drug formulation as claimed in claim 5 or 6, wherein the excipient particles used to melt-coat the core particles are 10% or less than the size of the core particle.
- 30 8. A pharmaceutical formulation as claimed in any of claims 3-7, wherein a quantity of the active agent is included in the core or core particles.

9. A pharmaceutical formulation as claimed in any one of the preceding claims, wherein the formulation includes one or more sweeteners and/or flavouring agents.
10. A pharmaceutical formulation as claimed in claim 3-9, wherein a quantity of
5 the sweeteners and/or flavouring agents is included in the coating or coating material.
11. A pharmaceutical formulation as claimed in any one of the preceding claims, wherein the core or core particles are not pre-coated with a release retarding
10 coating.
12. A pharmaceutical formulation as claimed in any of claims 3-11, wherein the coating or coating material further comprises a water soluble or hydrophilic binder.
13. A pharmaceutical formulation as claimed in any of claims 3-12, wherein the
15 coating of coating material further comprises a hydrophobic binder.
14. A pharmaceutical formulation as claimed in claim 12 or 13, wherein the binder melts or softens sufficiently to melt-coat the core particles at a temperature
20 below the melting point or decomposition temperature of the active agent.
15. A pharmaceutical formulation as claimed in any of claims 1-13, wherein the excipient melts or softens sufficiently to melt-coat the core particles at a
25 temperature below the melting point or decomposition temperature of the active agent.
16. A pharmaceutical formulation as claimed in claim 14, wherein the binder melts or softens sufficiently to melt-coat the core particles at a temperature below the melting point or decomposition temperature of the excipient.
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17. A pharmaceutical formulation as claimed in any of the preceding claims, wherein the core or core particles include a water soluble excipient.

18. A pharmaceutical formulation as claimed in any of the preceding claims, formed by a process in which the active agent is not raised to or above its melting point, or a temperature at which a significant proportion thereof is caused to decompose.

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19. A pharmaceutical formulation as claimed in claim 17, wherein the water soluble excipient is one or more of: sugars, sugar alcohols, polyethylene glycols (PEGs), polyethylene oxides, gelatin, partially hydrolyzed gelatin, hydrolyzed dextran, dextrin, alginate, sodium bicarbonate, citric acid, tartaric acid, malic acid, fumaric acid, adipic acid, succinic acid, sodium glycine carbonate and sweeteners.

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20. A pharmaceutical formulation as claimed in claim 19, wherein the water soluble excipient is a sugar alcohol or combination of sugar alcohols.

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21. A pharmaceutical formulation as claimed in claim 20, wherein the sugar alcohol or sugar alcohols is or are sorbitol, mannitol, maltitol, reduced starch saccharide, xylitol, reduced paratinose, erythritol, or any combination thereof.

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22. A pharmaceutical formulation as claimed in claim 12, wherein the binder includes one or more of: polyethylene glycols (PEGs), polyethylene oxides, sugar alcohols, stearic acid, glyceryl monostearate, glyceryl palmitostearate and suppository bases.

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23. A pharmaceutical formulation as claimed in any of claims 2-22, wherein the core or core particles include an additional excipient for controlling or delaying the release of the active agent.

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24. A pharmaceutical formulation as claimed in claim 23, wherein the core or core particles include a layer or coating of said additional excipient encapsulating an inner core comprising the active agent.

25. A pharmaceutical formulation as claimed in claim 23 or 24, wherein said additional excipient provides an enteric or sustained release coating.

26. A pharmaceutical formulation as claimed in claim 25, wherein said additional excipient is selected from the group consisting of cellulose acetate phthalate, hydroxypropylmethylcellulose phthalate, polymethacrylates, shellac, ethylcellulose,
5 hydroxypropylcellulose, and hydroxypropylmethylcellulose.

27. A pharmaceutical formulation as claimed in any of the preceding claims, wherein said formulation dissolves in a patient's mouth within 30 or 15 seconds after administration without the coadministration of a fluid.

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28. A pharmaceutical formulation as claimed in any of the preceding claims, wherein the particles comprise at least about 50%, 60%, or 75% active agent.

29. A pharmaceutical formulation as claimed in any one of claims 1 to 27,
15 wherein the particles comprise less than about 50% active agent.

30. A pharmaceutical formulation as claimed in any of the preceding claims further comprising a low viscosity polymer.

20 31. A pharmaceutical formulation as claimed in any of the preceding claims further comprising a salivary stimulant.

32. A pharmaceutical formulation as claimed in any of the preceding claims, wherein said formulation further comprises an excipient selected from the group
25 consisting of polyvinyl alcohol, polyvinylpyrrolidone, acacia and combinations thereof.

33. A pharmaceutical formulation as claimed in any of the preceding claims further comprising a water soluble artificial sweetener.

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34. A pharmaceutical formulation as claimed in claim 33, wherein said water soluble artificial sweetener is selected from the group consisting of soluble saccharin

salts, such as sodium or calcium saccharin salts, cyclamate salts, acesulfam-K, the free acid form of saccharin and mixtures thereof.

35. A pharmaceutical formulation as claimed in any of the preceding claims
5 further comprising a dipeptide based sweetener.

36. A pharmaceutical formulation as claimed in claim 35, wherein said dipeptide based sweetener is L-aspartyl L-phenylalanine methyl ester.

10 37. A pharmaceutical formulation as claimed in claim 31, wherein said salivary stimulant is selected from the group consisting of citric acid, tartaric acid, malic acid, fumaric acid, adipic acid, succinic acid, acid anhydrides thereof, acid salts thereof and combinations thereof.

15 38. A pharmaceutical formulation as claimed in claim 31, wherein said salivary stimulant is an effervescent agent.

39. A pharmaceutical formulation as claimed in claim 38, wherein said effervescent agent is the result of a reaction of a soluble acid source and an alkali
20 metal carbonate or carbonate source.

40. A pharmaceutical formulation as claimed in any of the preceding claims, wherein the formulation is capable of dissolving or dispersing in a patient's mouth within 1 minute after administration without the co-administration of a fluid.

25 41. A pharmaceutical formulation as claimed in any of the preceding claims, arranged for direct un-encapsulated administration to the oral cavity.

42. A pharmaceutical formulation as claimed in any of the preceding claims,
30 wherein the particles are non-compressed.

43. A pharmaceutical formulation as claimed in any of the preceding claims, wherein the flavouring intensity substantially always exceeds the intensity of the

taste of the active agent, without affecting the dissolution profile of the formulation.

44. A method of preparing a formulation as claimed in any one of the preceding
5 claims, comprising forming the particles by melt-coating core particles with a coating material that includes a quantity of the water-soluble excipient and, optionally, a quantity of the binder, at a temperature below the melting point or decomposition temperature of the active agent.
- 10 45. Use of a drug formulation as claimed in any of claims 1-43, or a drug formulation prepared by a method as claimed in claim 44, for the preparation of a medicament for treating a human or animal patient, wherein the formulation is administered directly and in an un-encapsulated form to the patient's oral cavity.
- 15 46. A method of treating a human or animal patient, wherein a formulation as claimed in any of claims 1-43, or a drug formulation prepared by a method as claimed in claim 44, is administered in a un-encapsulated form directly into the patient's oral cavity.
- 20 47. A drug delivery system comprising a dosing device comprising a housing and an actuator, said device containing at least one unit dose of a drug formulation as claimed in any one of claims 1-43, or a drug formulation prepared by a method as claimed in claim 44, said device upon actuation delivering a unit dose of said drug formulation such that an effective dose of said drug cannot be delivered into the
25 lower lung of a human patient.
48. The drug delivery system as claimed in claim 47, wherein said at least one unit dose is contained in a reservoir.
- 30 49. The drug delivery system as claimed in claim 47, further comprising a metering component to meter a unit dose from said reservoir upon actuation of said system.

50. The drug delivery system as claimed in claim 47, comprising multiple unit doses, wherein said unit doses are individually metered prior to said actuation.

51. The drug delivery system as claimed in claim 47, further comprising sachets,
5 each sachet containing said individually metered unit dose.

52. A method as claimed in treating a patient with an active agent for gastrointestinal deposition comprising administering a formulation as claimed in any one of claims 1-43.

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53. A method as claimed in claim 44, wherein said particles are prepared by a process comprising melt granulating said water soluble excipient and the active agent to form a homogenous mixture.

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54. A method as claimed in claim 44, wherein said particles are prepared by a process comprising melt coating said water soluble excipient onto said active agent.

55. A method as claimed in claim 53 or claim 54, which are prepared without the use of an aqueous fluid.

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